Scheme 1

$$NH_2$$
 $N-R_1$
 $N-R_1$

Scheme 2

FIG. 1-A

`N_R1

<u>10'</u>

Scheme 3:

Scheme 4:

Scheme 5:

Scheme 6:

$$S \longrightarrow P$$
 $N \longrightarrow R1$
 N

Scheme 7:

FIG. 1-C

Scheme 8:

Scheme 9:

FIG. 1-D

Scheme 10:

18' (see Scheme II)

19' (see Scheme II)

Scheme11:

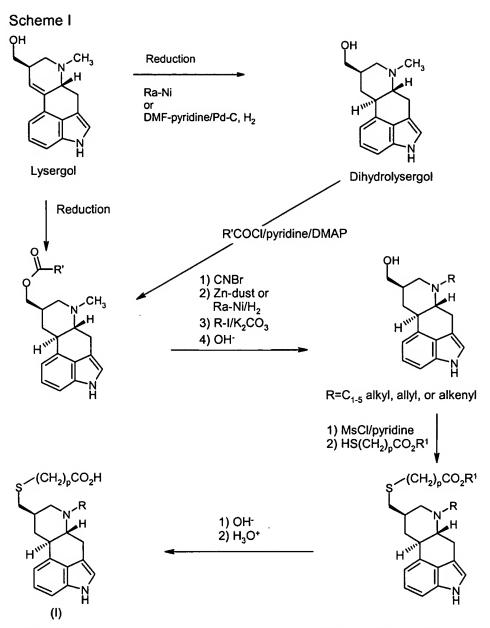
Scheme 12:

Scheme 13:

Scheme 14:

Scheme 15:

FIG. 1-G



Compounds 6', 7', and 8' can be synthesized using the synthetic scheme above:

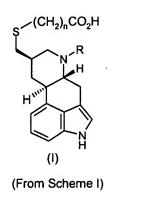
FIG. 1-H

Scheme II

Where R" and R" are, independently, H or C_1 - C_4 alkyl

Scheme III

Scheme IV:



Partially protected somatostatin ligand on resin or in solution

- 1) couple
- 2) deprotect and cleave (ligand on resin) or deprotect (ligand in solution)

Partially protected somatostatin ligand on resin or in solution

- 1) couple
- 2) deprotect and cleave (ligand on resin) or deprotect (ligand in solution)

FIG. 1-K

Scheme V:

Partially protected somatostatin ligand on resin or in solution

- couple
 deprotect and cleave (ligand on resin) or deprotect (ligand in solution)

FIG. 1-L

Scheme VI:

FIG. 1-M

HO OH
$$\frac{1}{1}$$
 $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{1}$ $\frac{1}{2}$ $\frac{1}{2}$

FIG. 2

FIG. 3

FIG. 4

FIG. 5

FIG. 6

FIG. 7

FIG. 8

HO H, NH H OH
$$\frac{25}{26}$$
 $\frac{26}{27}$

FIG. 9